=> d 14

L4 HAS NO ANSWERS

T.4

STR

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE

=> s 14 ful

FULL SEARCH INITIATED 13:14:11 FILE 'REGISTRY'

8 SEA SSS FUL L4

FULL SCREEN SEARCH COMPLETED -

386 TO ITERATE

100.0% PROCESSED 386

386 ITERATIONS

8 ANSWERS

SEARCH TIME: 00.00.01

=> d 1-8

 L_5

L6 ANSWER 1 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN

RN 652130-43-3 REGISTRY

CN 1H-Indene-2-carboxylic acid, 2,3-dihydro-5,6-dimethoxy-1-oxo-2-(4-

piperidinylmethyl) -, ethyl ester (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C20 H27 N O5

SR CA

LC STN Files: CA, CAPLUS, CASREACT, USPAT2, USPATFULL

DT.CA CAplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

PROPERTY DATA AVAILABLE IN THE 'PROF' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 2 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN

RN 571144-62-2 REGISTRY

CN 1H-Inden-1-one, 5,6-diethoxy-2,3-dihydro-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN 4-[(5,6-Diethoxy-1-oxoindan-2-yl)methyl]piperidine

FS 3D CONCORD

MF C19 H27 N O3

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 3 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN

RN 382144-76-5 REGISTRY

CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-(4-piperidinylmethyl)(9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C17 H22 F N O3

CI COM

SR CA

LC STN Files: CA, CAPLUS, CASREACT, USPATFULL

DT.CA CAplus document type: Patent

RI.P Roles from patents: BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 4 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN

RN 382144-72-1 REGISTRY

CN 1H-Inden-1-one, 2-fluoro-2,3-dihydro-5,6-dimethoxy-2-(4-piperidinylmethyl)-, hydrochloride (9CI) (CA INDEX NAME)

MF C17 H22 F N O3 . C1 H

SR CA LC STN Files:

STN Files: CA, CAPLUS, CASREACT, USPATFULL

DT.CA CAplus document type: Patent

CRN (382144-76-5)

HCl

2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 5 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN

RN 254886-18-5 REGISTRY

CN 1H-Inden-1-one, 2,3-dihydro-3-hydroxy-5,6-dimethoxy-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

MF C17 H23 N O4

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Journal

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 6 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN

RN 220170-70-7 REGISTRY

CN 1H-Inden-1-one, 2,3-dihydro-3-hydroxy-5,6-dimethoxy-2-(4-piperidinylmethyl)-, (2R,3R)-rel- (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C17 H23 N O4

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Journal

Relative stereochemistry.

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 7 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN

RN 120014-30-4 REGISTRY

CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

FS 3D CONCORD

DR 147427-76-7

MF C17 H23 N O3

CI COM

SR CA

LC STN Files: CA, CAPLUS, CASREACT, TOXCENTER, USPATFULL

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

RL.NP Roles from non-patents: ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative); PREP (Preparation); PROC (Process); PRP (Properties); RACT (Reactant or reagent); USES (Uses)

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

24 REFERENCES IN FILE CA (1907 TO DATE)

24 REFERENCES IN FILE CAPLUS (1907 TO DATE)

L6 ANSWER 8 OF 8 REGISTRY COPYRIGHT 2005 ACS on STN

RN 120013-39-0 REGISTRY

MF C17 H23 N O3 . C1 H

SR CA

LC STN Files: CA, CAPLUS, TOXCENTER, USPATFULL

DT.CA CAplus document type: Journal; Patent

RL.P Roles from patents: PREP (Preparation); RACT (Reactant or reagent)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

CRN (120014-30-4)

HCl

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

- 4 REFERENCES IN FILE CA (1907 TO DATE)
- 4 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> fil caplus
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 196.17 209.22

FULL ESTIMATED COST

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FILE COVERS 1907 - 24 Feb 2005 VOL 142 ISS 9 FILE LAST UPDATED: 23 Feb 2005 (20050223/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 16

L7 27 L6

=> d hitstr 27

L7 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2005 ACS on STN

TT 120013-39-0P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as acetylcholinesterase inhibitor)

RN 120013-39-0 CAPLUS

CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-piperidinylmethyl)-,
hydrochloride (9CI) (CA INDEX NAME)

HCl

IT 120014-30-4

RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, in preparation of acetylcholinesterase inhibitors)

RN 120014-30-4 CAPLUS

CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-piperidinylmethyl)- (9CI) (CA INDEX NAME)

=> fil casreact
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 2.74 211.96

FILE 'CASREACT' ENTERED AT 13:15:33 ON 24 FEB 2005 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE CONTENT:1840 - 20 Feb 2005 VOL 142 ISS 8

Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 16

L8 5 L6

=> d 5

RX(1) OF 26

HCO2H, HCHO, Water

HCl

REF: PCT Int. Appl., 2001098271, 27 Dec 2001 NOTE: 80.degree., 3 h

=> d 4

ANSWER 4 OF 5 CASREACT COPYRIGHT 2005 ACS on STN L8

RX(4) OF 28

MeO
$$C-OEt$$
 $C-OBu-t$ $F3CCO2H$, $CH2C12$ MeO

REF: Eur. Pat. Appl., 1386607, 04 Feb 2004

=> d 3

ANSWER 3 OF 5 CASREACT COPYRIGHT 2005 ACS on STN L8

RX(1) OF 6

 PhCH2Br, Na2CO3, EtOH

2. Water

REF: U.S. Pat. Appl. Publ., 2004143121, 22 Jul 2004

=> d 2

L8 ANSWER 2 OF 5 CASREACT COPYRIGHT 2005 ACS on STN

RX(1) OF 3

 PhCH2Br, Et3N, CH2Cl2

2. HCl, MeOH

$$\begin{array}{c} \text{MeO} \\ \text{MeO} \\ \text{O} \end{array}$$

HCl

92%

REF: U.S. Pat. Appl. Publ., 2004158070, 12 Aug 2004

=> d 1

L8 ANSWER 1 OF 5 CASREACT COPYRIGHT 2005 ACS on STN

RX(2) OF 10

MeO
$$CH_2$$
 N $PtO2$, $HC1$, $H2$, $MeOH$, N

REF: PCT Int. Appl., 2004082685, 30 Sep 2004

AN 1993:560046 CAPLUS

DN 119:160046

TI Synthesis and anti-acetylcholinesterase activity of 1-benzyl-4-[(5,6-dimethoxy-1-indanon-2-yl)methyl]piperidine hydrochloride (E2020) and related compounds

AU Sugimoto, Hachiro; Iimura, Youichi; Yamanishi, Yoshiharu; Yamatsu, Kiyomi

CS Tsukuba Res. Lab., Eisai Co., Ltd., Tsukuba, 300-26, Japan

SO Bioorganic & Medicinal Chemistry Letters (1992), 2(8), 871-6 CODEN: BMCLE8; ISSN: 0960-894X

DT Journal

LA English

GI

AB Title compds., e.g., I (R = H, MeO; R1 = H, 2-Me, 3-Me, 4-Me, 2-O2N, 3-O2N, 4-O2N; n = 1, 2, 3; m = 0, 1, 2) were prepared via condensation of indanone and analogs II with formylalkylpiperidines III. Evaluation of the prepared compds. for the title activity found I (R = MeO, R1 = H, n = 1, m = 1) is a selective inhibitor of acetylcholinesterase (IC50 = 5.7 nM), which exhibits a long duration of action in comparison with other cholinesterase inhibitors.

Ι

IT 149874-91-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (hydrogenation of)

RN 149874-91-9 CAPLUS

CN 1H-Inden-1-one, 2,3-dihydro-5,6-dimethoxy-2-(4-piperidinylmethylene)-(9CI) (CA INDEX NAME)

```
AN
     141:314158 CASREACT
ΤI
     Process for the preparation of donepezil and derivatives thereof
     Kumar, Yatendra; Prasad, Mohan; Nath, Asok; Maheshwari, Nitin
IN
PA
     Ranbaxy Laboratories Limited, India
SO
     PCT Int. Appl., 25 pp.
     CODEN: PIXXD2
DT
     Patent
     English
LΑ
FAN.CNT 1
                      KIND DATE
                                           APPLICATION NO.
     PATENT NO.
     WO 2004082685
                      A1
                            20040930
                                           WO 2004-IB843
PΙ
                                                             20040322
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
             BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
             ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
             SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,
             TD, TG
PRAI IN 2003-DE352
                      20030321
    MARPAT 141:314158
os
              THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
RE.CNT 6
              ALL CITATIONS AVAILABLE IN THE RE FORMAT
```

RX(2) OF 10 ...B ===> G...

RX(2) RCT B 4803-57-0

G

RGT H 7647-01-0 HCl, C 1333-74-0 H2 PRO G 120014-30-4 CAT 1314-15-4 PtO2 SOL 67-56-1 MeOH, 7732-18-5 Water

RX(3) OF 10 ...K + G ===> L

● HCl

L

RX(3) RCT K 100-39-0, G 120014-30-4

STAGE(1)

RGT M 584-08-7 K2CO3, N 1643-19-2 Bu4N.Br SOL 75-09-2 CH2Cl2, 7732-18-5 Water

> 2 STEPS

(3)

STAGE(2)

RGT H 7647-01-0 HCl SOL 7732-18-5 Water PRO L 120011-70-3

RX(5) OF 10 COMPOSED OF RX(1), RX(2)

RX(5) A ===> **G**

G

RX(1) RCT A 4803-74-1 RGT C 1333-74-0 H2 PRO B 4803-57-0 CAT 7440-05-3 Pd

SOL 67-56-1 MeOH, 75-09-2 CH2Cl2

RX(2) RCT B 4803-57-0 RGT H 7647-01-0 HCl, C 1333-74-0 H2 PRO G 120014-30-4 CAT 1314-15-4 PtO2 SOL 67-56-1 MeOH, 7732-18-5 Water

$$RX(6)$$
 OF 10 COMPOSED OF $RX(2)$, $RX(3)$
 $RX(6)$ B + K ===> L

● HCl

L

RX(2) RCT B 4803-57-0 RGT H 7647-01-0 HCl, C 1333-74-0 H2 PRO G 120014-30-4 CAT 1314-15-4 PtO2

SOL 67-56-1 MeOH, 7732-18-5 Water

RX(3) RCT K 100-39-0, G 120014-30-4

STAGE(1)

RGT M 584-08-7 K2CO3, N 1643-19-2 Bu4N.Br SOL 75-09-2 CH2Cl2, 7732-18-5 Water

STAGE(2)

RGT H 7647-01-0 HCl SOL 7732-18-5 Water PRO L 120011-70-3

RX(8) OF 10 COMPOSED OF RX(1), RX(2), RX(3)

RX(8) A + K ===> L

● HCl

 \mathbf{L}

RX(1) RCT A 4803-74-1 RGT C 1333-74-0 H2 PRO B 4803-57-0 CAT 7440-05-3 Pd SOL 67-56-1 MeOH, 75-09-2 CH2Cl2

RX(2) RCT B 4803-57-0 RGT H 7647-01-0 HCl, C 1333-74-0 H2 PRO G 120014-30-4 CAT 1314-15-4 PtO2 SOL 67-56-1 MeOH, 7732-18-5 Water RX(3) RCT K 100-39-0, G 120014-30-4

STAGE(1)

RGT M 584-08-7 K2CO3, N 1643-19-2 Bu4N.Br SOL 75-09-2 CH2Cl2, 7732-18-5 Water

STAGE(2)

RGT H 7647-01-0 HCl SOL 7732-18-5 Water PRO L 120011-70-3

RX(9) OF 10 COMPOSED OF RX(4), RX(1), RX(2), RX(3) RX(9) O + P + K ===> L

● HCl

L

RX (4) RCT O 2107-69-9, P 872-85-5 RGT Q 104-15-4 TsOH PRO A 4803-74-1 SOL 108-88-3 PhMe RX(1) RCT A 4803-74-1 RGT C 1333-74-0 H2 PRO B 4803-57-0 CAT 7440-05-3 Pd 67-56-1 MeOH, 75-09-2 CH2Cl2 SOL

RX(2) RCT B 4803-57-0 RGT H 7647-01-0 HCl, C 1333-74-0 H2 PRO G 120014-30-4 CAT 1314-15-4 PtO2 SOL 67-56-1 MeOH, 7732-18-5 Water RX(3) RCT K 100-39-0, G 120014-30-4

STAGE(1)

RGT M 584-08-7 K2CO3, N 1643-19-2 Bu4N.Br SOL 75-09-2 CH2Cl2, 7732-18-5 Water

STAGE(2)

RGT H 7647-01-0 HCl SOL 7732-18-5 Water PRO L 120011-70-3

RX(10) OF 10 COMPOSED OF RX(4), RX(1), RX(2)

RX(10) O + P ===> **G**

G

AN 2005:29309 CAPLUS

DN 142:113913

TI Catalytic hydrogenation process for the preparation of intermediates for acetyl cholinesterase inhibitors

IN Reddy, Bandi Parthasaradhi; Reddy, Kura Rathnakar; Reddy, Rapolu Raji; Reddy, Dasari Muralidhara

PA Hetero Drugs Limited, India

SO PCT Int. Appl., 16 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN CNT 1

FAN.CNT 1																		
	PATENT NO.					KIND		DATE		APPLICATION NO.						DATE		
							_											
ΡI	WO 2005003092				A1		20050113		WO 2003-IN232				2	20030701				
		W:	ΑE,	AG,	ΑL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,
			GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,	LR,
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	ΝI,	NO,	ΝZ,	OM,
			PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,
			TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	zw					
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	ŪĠ,	ZM,	ZW,	AM,	ΑZ,	BY,
			KG,	ΚZ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
			FI,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ΜIJ,	MR,	ΝE,	SN,	TD,	TG
PRAI	AI WO 2003-IN232						20030701											
GT																		

$$R_n$$

AB A simple industrial process for the preparation of the intermediates of acetyl cholinesterase inhibitors [I; R = H, lower alkoxy; Y = H, F; n = 1-4; e.g., 4-[(5,6-dimethoxy-1-indanon)-2-yl]methylpiperidine hydrochloride] is described which comprises the hydrogenation of the corresponding 4-pyridyl

analog prepared by hydrogenated using a platinum oxide, Pt/C, raney nickel, or ruthenium oxide catalyst in the presence of an acid (e.g., aqueous HCl) under a pressure of 1-10 bars to give the 4-piperidinyl intermediate [II; e.g., 5,6-dimethoxy-2-(4-pyridyl)methyl-1-indanone].

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d hit

- L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
- IT 7440-05-3, **Palladium**, uses 11113-84-1, Ruthenium oxide 11129-89-8, Platinum oxide
 - RL: CAT (Catalyst use); USES (Uses) (catalytic hydrogenation process for the preparation of intermediates for acetyl cholinesterase inhibitors)
- IT 120014-30-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (catalytic hydrogenation process for the preparation of intermediates for acetyl cholinesterase inhibitors)